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(54) **MELANGES D'ESSENCE POUR VEHICULE ET LEUR
UTILISATION DANS DES PREPARATIONS MEDICALES**

(54) **NOVEL VEHICLE GAS MIXTURES AND THEIR USE IN
MEDICAL PREPARATIONS**

(57) Nouveaux mélanges de gaz propulseurs présentant des avantages et contenant deux composants ou davantage, dont l'un au moins est un alcane inférieur partiellement fluoré, et pouvant s'utiliser dans des préparations médicamenteuses.

(57) Novel advantageous vehicle gas mixtures contain two or more components, at least one of which is a partly fluorinated lower alkane, and may be used in medical preparations.



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(21) Internationales Aktenzeichen: PCT/EP91/00177 (22) Internationales Anmeldedatum: 31. Januar 1991 (31.01.91) (30) Prioritätsdaten: P 40 03 272.8 3. Februar 1990 (03.02.90) DE (71) Anmelder (nur für AU CA GB): BOEHRINGER INGELHEIM INTERNATIONAL GMBH [DE/DE]; Postfach 200, D-6507 Ingelheim am Rhein (DE). (71) Anmelder (für alle Bestimmungsstaaten ausser AU CA GB US): BOEHRINGER INGELHEIM KG [DE/DE]; Postfach 200, D-6507 Ingelheim am Rhein (DE). (72) Erfinder; und (75) Erfinder/Anmelder (nur für US) : WEIL, Hans-Hermann [DE/DE]; Am Römer 2, D-6551 Gau-Bickelheim (DE). DAAB, Otfried [DE/DE]; Schillerstraße 2a, D-6507 Ingelheim am Rhein (DE).	(74) Anwalt: BOEHRINGER INGELHEIM GMBH; A Patente, D-6507 Ingelheim am Rhein (DE). (81) Bestimmungsstaaten: AT (europäisches Patent), AU, BE (europäisches Patent), CA, CH (europäisches Patent), DE (europäisches Patent), DK (europäisches Patent), ES (europäisches Patent), FI, FR (europäisches Patent), GB (europäisches Patent), GR (europäisches Patent), HU, IT (europäisches Patent), JP, KR, LU (europäisches Patent), NL (europäisches Patent), NO, PL, SE (europäisches Patent), SU, US. Veröffentlicht <i>Mit internationalem Recherchenbericht. Vor Ablauf der für Änderungen der Ansprüche zugelassenen Frist. Veröffentlichung wird wiederholt falls Änderungen eintreffen.</i>	
(54) Title: NOVEL VEHICLE GAS MIXTURES AND THEIR USE IN MEDICAL PREPARATIONS (54) Bezeichnung: NEUE TREIBGASMISCHUNGEN UND IHRE VERWENDUNG IN ARZNEIMITTELBZUBEREITUNGEN (57) Abstract X Novel advantageous vehicle gas mixtures contain two or more components, at least one of which is a partly fluorinated lower alkane, and may be used in medical preparations. (57) Zusammenfassung Neue vorteilhafte Treibgasmischungen enthalten zwei oder mehr Komponenten, von denen mindestens eine ein teilfluoriertes niederes Alkan ist und können in Arzneimittelzubereitungen Verwendung finden.		

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CLAIMS:

1. Propellant gas mixtures made from two or more components for inhaled pharmaceutical preparations, characterised in that they contain 1,1,1,2,3,3,3-
5 heptafluoropropane and, in addition, one or more compounds from the group 1,1,1,2-tetrafluoroethane, pentafluoroethane, TG 11, TG 12 or TG 114, where the quantity of 1,1,1,2,3,3,3-heptafluoropropane is between 30 and 95% by weight.
2. Propellant gas mixtures according to claim 1,
10 characterised in that they additionally contain at least one surface-active substance.
3. Propellant gas mixtures according to claim 2, characterised in that the surface-active substance is a phospholipid, a sorbitan ester with a higher saturated or
15 unsaturated fatty acid or a polyethoxysorbitan ester of a higher fatty acid.
4. Propellant gas mixtures according to claim 3, wherein the polyethoxysorbitan ester is of an unsaturated fatty acid.
5. Propellant gas mixture according to claim 2,
20 characterised in that the surface-active substance is a lecithin, a polyoxyethylene sorbitan oleate or a sorbitan trioleate.
6. Inhaled pharmaceutical preparations for the production of powder aerosols based on propellant gas mixtures
25 according to any one of claims 1, 2, 3 or 4, characterised in that they contain a betamimetic, an anticholinergic, a steroid, an antiallergic or a PAF-antagonist as their active ingredient, or that they contain a combination of such compounds.
7. Pharmaceutical preparations according to claim 6,
30 characterised in that the b tamimetic used is:

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Bambuterol

Bitolterol

Carbuterol

Clenbuterol

5 Fenoterol

Hexoprenaline

Ibuterol

Pirbuterol

Procaterol

10 Reprotoerol

Salbutamol

Salmeterol

Sulphonterol

Terbutaline

15 Tulobuterol

1- (2-fluoro-4-hydroxyphenyl) -2- [4- (1-benzimidazolyl) -
2-methyl-2-butylamino] ethanol

Erythro-5'-Hydroxy-8' - (1-hydroxy-2-
isopropylaminobutyl) -2H-1,4-benzoxazine-3- (4H) -one

20 1- (4-amino-3-chloro-5-trifluoromethylphenyl) -2-tert.-
butylamino) ethanol

1- (4-ethoxycarbonylamino-3-cyano-5-fluorophenyl) -2-
(tert.-butylamino) ethanol;

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the anticholinergic used is:

Ipratropium bromide

Oxitropium bromide

Trospium chloride

5 Benzilic acid N- β -fluorethyl nortopine ester
methobromide;

the steroid used is:

Budesonide

Beclomethasone (or the 17,21-dipropionate)

10 Dexamethazone-21-isonicotinate

Flunisolide;

the antiallergic used is:

Disodium cromoglycate

Nedocromil;

15 and the PAF-antagonist used is:

4-(2-chlorophenyl)-9-methyl-2-[3(4-morpholinyl)-3-propanone-1-yl]-6H-thieno[3,2-f][1,2,4]triazolo [4,3-a]
[1,4]diazepine

20 6-(2-chlorophenyl)-8,9-dihydro-1-methyl-8[4-(morpholinyl)carbonyl]-4H-7H-cyclopenta[4,5] thieno[3,2-f]
[1,2,4]triazolo[4,3-a][1,4]diazepine

6-(2-chlorophenyl)-8,9-dihydro-1-methyl-8-(N,N-dipropyl-aminocarbonyl)-4H-7H-cyclopenta[4,5]thieno[3,2-f]
[1,2,4]triazolo[4,3-1][1,4]diazepine.

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8. Pharmaceutical preparations according to claim 6, characterised in that the combination of active ingredients comprises one of the betamimetics specified in claim 7 and one of the anticholinergics specified in claim 7.

5 9. Pharmaceutical preparations according to claim 6, characterised in that the combination of active ingredients comprises one of the betamimetics specified in claim 7 and disodium cromoglycate.

10. Pharmaceutical preparations according to claim 6,
10 characterised in that the combination of active ingredients comprises one of the betamimetics specified in claim 7 and one of the PAF-antagonists specified in claim 7.

11. Pharmaceutical preparations according to claim 6,
15 characterised in that the combination of active ingredients contains disodium cromoglycate and one of the PAF-antagonists specified in claim 7.

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